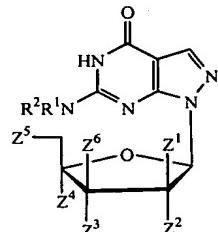


WHAT IS CLAIMED IS:

1. A PPG phosphoramidite comprising a photolabile hydroxy protecting group, wherein said phosphoramidite nucleoside is of the formula:



wherein

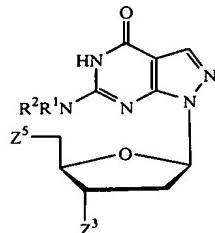
R^1 is selected from the group consisting of hydrogen and alkyl;

R^2 is selected from the group consisting of hydrogen, alkyl, and an amine protecting group, or R^1 and R^2 together form an amine protecting group;

each of Z^1 , Z^2 , Z^4 , and Z^6 is independently selected from the group consisting of hydrogen, halide, alkyl, $-OR^{11}$, wherein each R^{11} is independently selected from the group consisting of hydrogen, alkyl, and a hydroxy protecting group or two R^{11} groups form a diol protecting group, or Z^2 and Z^4 together with the carbon atoms to which they are attached and C-3 carbon atom of the carbohydrate ring form a five-to seven membered ring; and

one of Z^3 or Z^5 is $-OR^{12}$ and the other is $-OR^{13}$, where R^{12} is a photolabile hydroxy protecting group and R^{13} is a phosphoramidite.

2. The PPG phosphoramidite according to Claim 1 of the formula:



wherein

R^1 , R^2 , Z^3 and Z^5 are those defined in Claim 1.

3. The PPG phosphoramidite according to Claim 2, wherein Z^3 is $-OR^{13}$ and Z^5 is $-OR^{12}$, where R^{12} and R^{13} are those defined in Claim 1.

4. The PPG phosphoramidite according to Claim 3, wherein the photolabile hydroxy protecting group is selected from the group consisting of α -methyl-6-

nitropiperonyloxycarbonyl, 2-(2-nitrophenyl)-2-methylethoxycarbonyl, 2-(2-nitro-6-chlorophenyl)-2-methylethylsulfonyl, and 3',5'-dimethoxybezinoxycarbonyl.

5. The PPG phosphoramidite according to Claim 4, wherein R¹ and R² together form an amine protecting group.

6. The PPG phosphoramidite according to Claim 5, wherein R¹ and R² together form an amine protecting group of the formula: =CH-N(CH₃)₂.

7. A process for producing a non-halogenated nucleoside base containing nucleoside comprising:

(a) contacting a halogenated nucleoside base with an activated sugar under conditions sufficient to produce a halogenated nucleoside base containing nucleoside; and

(b) reducing said halogenated nucleoside base containing nucleoside under conditions sufficient to produce said non-halogenated nucleoside base containing nucleoside.

8. The process of Claim 7, wherein said non-halogenated nucleoside base containing nucleoside is purified by recrystallization.

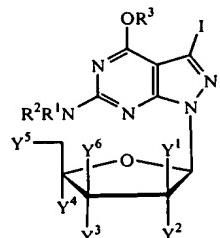
9. The process of Claim 7, wherein the yield of said non-halogenated nucleoside base containing nucleoside from said halogenated nucleoside base is at least about 50%.

10. The process of Claim 7, wherein said halogenated nucleoside base containing nucleoside reducing step comprises hydrogenation of said halogenated nucleoside base containing nucleoside in the presence of a hydrogenation catalyst.

11. The process of Claim 7, wherein said non-halogenated nucleoside base containing nucleoside is used in a synthesis of a phosphoramidite nucleoside.

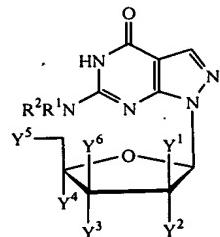
12. The process of Claim 11, wherein said phosphoramidite nucleoside is used in a synthesis of an oligonucleoside or an oligonucleotide.

13. A process for producing a nucleoside comprising a
2 hydropyrazolopyrimidine nucleoside base, said process comprising hydrolyzing and reducing
3 or reducing and hydrolyzing an iodopyrazolopyrimidine nucleoside of the formula:



I

6 under conditions sufficient to produce a hydropyrazolopyrimidine nucleoside of the formula:



II

9 wherein

10 R¹ is selected from the group consisting of hydrogen and alkyl;

11 R² is selected from the group consisting of hydrogen, alkyl, and an amine
12 protecting group, or R¹ and R² together form an amine protecting group;

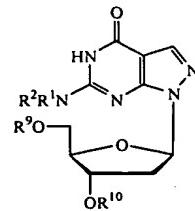
13 R³ is selected from the group consisting of alkyl, and a hydroxy protecting
14 group; and

15 each of Y¹, Y², Y³, Y⁴, Y⁵, and Y⁶ is independently selected from the group
16 consisting of hydrogen, halide, alkyl, -OR⁴, wherein each R⁴ is independently selected from
17 the group consisting of hydrogen, alkyl, and a hydroxy protecting group or two R⁴ groups
18 form a diol protecting group, or Y² and Y⁴ together with the carbon atoms to which they are
19 attached to and C-3 carbon atom of the carbohydrate ring form a five-to seven membered
20 ring.

1 14. The process of Claim 13, wherein R¹, R², Y¹, Y², Y⁴, and Y⁶ are
2 hydrogen, and Y³ and Y⁵ are -OR⁴.

1 15. The process of Claim 14, wherein R⁴ are hydrogen.

1 16. The process of Claim 15 further comprising producing a PPG
2 phosphoramidite of the formula:



3

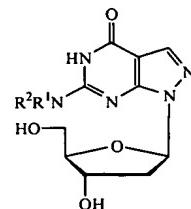
4 from said hydropyrazolopyrimidine nucleoside,

5 wherein

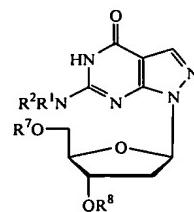
6 R¹ is hydrogen and R² is an amine protecting group or R¹ and R² together form
7 an amine protecting group; and8 one of R⁹ and R¹⁰ is a phosphoramidite and the other is a hydroxy protecting
9 group,

10 said PPG phosphoramidite producing step comprises:

- 11 (a) (i) contacting said hydropyrazolopyrimidine nucleoside with an
-
- 12 amine protecting reagent under conditions sufficient to produce an
-
- 13 amine-protected nucleoside of the formula:
-
- 14



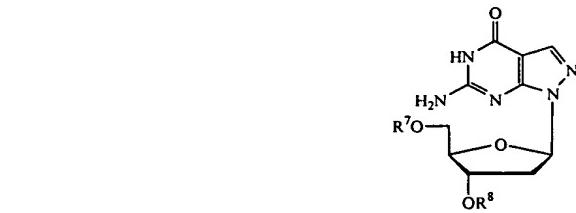
- 15 (ii) contacting said amine-protected nucleoside with a hydroxy
-
- 16 protecting reagent under conditions sufficient to produce an
-
- 17 amine/monohydroxy protected nucleoside of the formula:
-
- 18



19 or

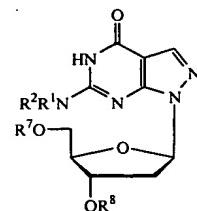
- 20 (i) contacting said hydropyrazolopyrimidine with a hydroxy
-
- 21 protecting reagent under conditions sufficient to produce a
-
- 22 monohydroxy protected nucleoside of the formula:

23
24
25
26



(ii) contacting said monohydroxy protected nucleoside with an amine protecting reagent under conditions sufficient to produce an amine/monohydroxy protected nucleoside of the formula:

27



wherein

R¹ is hydrogen and R² is an amine protecting group or R¹ and R² together form an amine protecting group; and one of R⁷ and R⁸ is hydrogen and the other is a hydroxy protecting group;

and

(b) contacting said amine/monohydroxy protected nucleoside with an activated phosphoramidite under conditions sufficient to produce said PPG phosphoramidite.

17. The process of Claim 16, wherein said amine protecting reagent is selected from the group consisting of N,N-dialkylformamide dialkylacetal, and N,N-dialkylacetamide dialkylacetal.

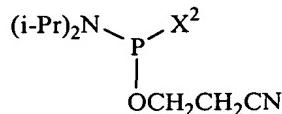
18. The process of Claim 16, wherein said hydroxy protecting reagent is a photolabile hydroxy protecting reagent.

19. The process of Claim 18, wherein said photolabile hydroxy protecting reagent is selected from the group consisting of 1-(3,4-methylenedioxy-6-nitrophenyl)ethyl chloroformate, 2-(2-nitrophenyl)-2-methylethyl chloroformate, 2-(2-nitro-6-chlorophenyl)-2-methylethylsulfonyl chloride and 3',5'-dimethoxybezoinoxyl chloroformate.

20. The process of Claim 16, wherein said hydroxy protecting reagent is an acid labile hydroxy protecting reagent.

1 21. The process of Claim 20, wherein said acid labile hydroxy protecting
2 reagent is selected from the group consisting of trityl halide, monomethoxytrityl halide and
3 dimethoxytrityl halide.

1 22. The process of Claim 16, wherein said activated phosphoramidite is of
2 the formula:



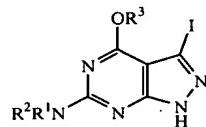
4 wherein

5 X^2 is a leaving group.

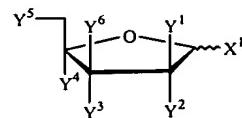
1 23. The process of Claim 22, wherein X^2 is selected from the group
2 consisting of halide and diisopropylamino.

1 24. The process of Claim 22, wherein R^9 is dimethoxytrityl and R^{10} is a
2 phosphoramidite moiety of the formula $-\text{P}[\text{N}(\text{i-Pr})_2]\text{OCH}_2\text{CH}_2\text{CN}$.

1 25. The process of Claim 13 further comprising producing said nucleoside
2 of Formula I, wherein said nucleoside of Formula I producing step comprises:
3 contacting an iodopyrazolopyrimidine of the formula:



5 with an activated sugar of the formula:

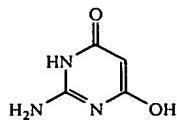


7 under conditions sufficient to produce said nucleoside of Formula I,

8 wherein

9 R^1 , R^2 , R^3 , Y^1 , Y^2 , Y^3 , Y^4 , Y^5 , and Y^6 are those defined Claim 13; and
10 X^1 is a leaving group.

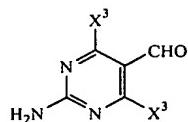
1 26. The process of Claim 25 further comprising producing said
2 iodopyrazolopyrimidine nucleoside of Formula I from a pyrimidinone of the formula:



said iodopyrazolopyrimidine nucleoside producing process comprising:

(i) contacting said pyrimidinone with a halogenating agent and a

formylating agent under conditions sufficient to produce a dihalopyrimidine carboxyaldehyde of the formula:

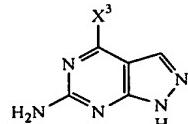


wherein

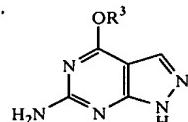
each X³ is independently selected from the group consisting of F, Cl, Br and I;

(ii) contacting said dihalopyrimidine carboxyaldehyde with hydrazine

under conditions sufficient to produce a halopyrazolopyrimidine of the formula:



(iii) contacting said halopyrazolopyrimidine with an alkoxide of the formula R³-OM, wherein R³ is alkyl and M is a metal, to produce an alkoxyypyrazolopyrimidine of the formula:



and

(iv) iodinating said alkoxyypyrazolopyrimidine with an iodinating agent

under conditions sufficient to produce said iodopyrazolopyrimidine.

27. The process of Claim 26, wherein said halogenating agent is selected

from the group consisting of POCl₃, iodine monochloride, N-iodosuccinamide and SOCl₂.

28. The process of Claim 26, wherein said formylating agent is a

compound comprising a formyl group attached to a secondary amino group.

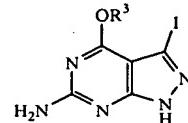
29. The process of Claim 28, wherein said formylating agent is selected

from the group consisting of dimethyl formamide, 1-formylpiperidine, 1-formylmorpholine

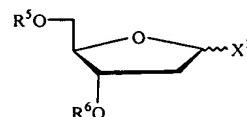
and triformamide.

1 30. The process of Claim 26, wherein said iodinating agent is selected
2 from the group consisting of iodine monochloride and N-iodosuccinimide.

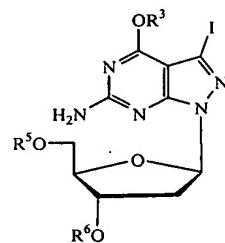
1 31. A process for producing a nucleoside comprising:
2 (a) contacting an iodopyrazolopyrimidine of the formula:



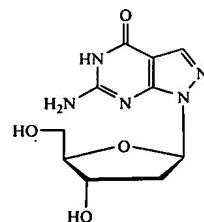
3 4 with an activated sugar of the formula:



5 6 under conditions sufficient to produce an deoxy iodopyrazolopyrimidine nucleoside of the
7 formula:



8 9 (b) producing an amino dihydro hydropyrazolopyrimidine nucleoside from
10 said deoxy iodopyrazolopyrimidine nucleoside, wherein said amino dihydro
11 hydropyrazolopyrimidine nucleoside is of the formula:



12 13 wherein

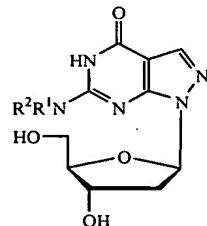
14 R³ is alkyl;

15 R⁵ and R⁶ are hydroxy protecting groups; and

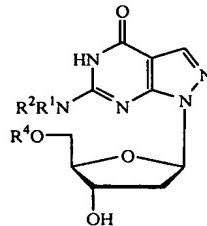
16 X¹ is a leaving group.

1 32. The process of Claim 31, wherein said step of producing said amino
2 dihydro hydropyrazolopyrimidine nucleoside comprises removing said hydroxy protecting
3 groups R⁵ and R⁶; hydrolyzing -OR³ group; and reducing the iodine.

- 1 33. The process of Claim 31 further comprising:
2 (c) contacting said amino dihydro hydropyrazolopyrimidine nucleoside
3 with an amine protecting reagent under conditions sufficient to produce an amine protected
4 nucleoside of the formula:

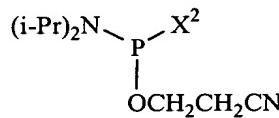


- 5
6 (d) contacting said amine protected nucleoside with a hydroxy protecting
7 reagent under conditions sufficient to produce an amine/monohydroxy protected nucleoside
8 of the formula:

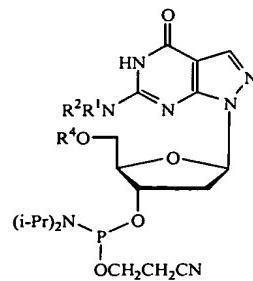


9
10 and

- 11 (e) contacting said amine/monohydroxy protected nucleoside with an
12 activated phosphoramidite of the formula:



- 13
14 under conditions sufficient to produce a PPG phosphoramidite of the formula:



15
16 wherein

- 17 R¹ is hydrogen;
18 R² is an amine protecting group;
19 or R¹ and R² together form an amine protecting group;

20 R⁴ is a hydroxy protecting group; and
21 X² is a leaving group.

1 34. The process of Claim 33, wherein X² is selected from the group
2 consisting of halide, and -N(i-Pr)₂.

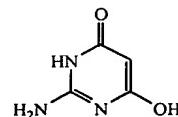
1 35. The process of Claim 33, wherein R¹ and R² together form a nitrogen
2 protecting group of the formula: =CH-N(CH₃)₂.

1 36. The process of Claim 35, wherein R⁴ is selected from the group
2 consisting of an acid labile hydroxy protecting group and a photolabile hydroxy protecting
3 group.

3 37. The process of Claim 36, wherein R⁴ is selected from the group
2 consisting of dimethoxytrityl, trityl, pixyl, 1,1-bis(4-methoxyphenyl)-1-pyrenylmethyl, α-
3 methyl-6-nitropiperonyloxycarbonyl, 2-(2-nitrophenyl)-2-methylethoxycarbonyl, 2-(2-nitro-
4 6-chlorophenyl)-2-methylethylsulfonyl and 3',5'-dimethoxybezoinoxycarbonyl.

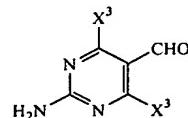
1 38. The process of Claim 31, wherein said step (b) comprises reducing the
2 iodide by hydrogenation.

3 39. The process of Claim 31, wherein said iodopyrazolopyrimidine is
2 produced from a pyrimidinone of the formula:



4 said iodopyrazolopyrimidine producing step comprising:

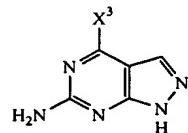
5 (i) contacting said pyrimidinone with a halogenating agent and a
6 formylating agent under conditions sufficient to produce a dihalopyrimidine carboxyaldehyde
7 of the formula:



8 9 wherein each X³ is independently selected from the group consisting of F, Cl, Br and I;

10 (ii) contacting said dihalopyrimidine carboxyaldehyde with hydrazine
11 under conditions sufficient to produce a halopyrazolopyrimidine of the formula:

12



13 (iii) contacting said halopyrazolopyrimidine with an alcohol of the formula
14 R³-OH to produce an alkoxyypyrazolopyrimidine of the formula:

15

16 and

17 (iv) iodinating said alkoxyypyrazolopyrimidine with an iodinating agent
18 under conditions sufficient to produce said iodopyrazolopyrimidine.

40. The process of Claim 39, wherein said halogenating agent is selected
from the group consisting of POCl₃, iodine monochloride, N-iodosuccinamide and SOCl₂.

41. The process of Claim 40, wherein said halogenating agent is selected
from the group consisting of POCl₃ and SOCl₂.

42. The process of Claim 39, wherein said formylating agent is selected
from the group consisting of dimethyl formamide, 1-formylpiperidine, 1-formylmorpholine
and triformamide.

43. The process of Claim 39, wherein said iodinating agent is selected
2 from the group consisting of iodine monochloride and N-iodosuccinimide.